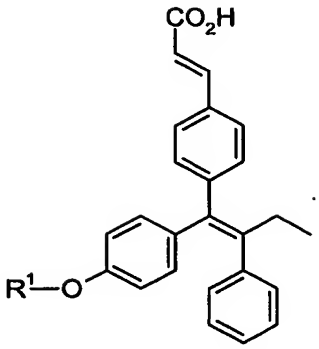
What is claimed is:

1. A compound of formula (I):



(I)

including salts, solvates, and pharmacologically functional derivatives thereof, wherein

R^1 is –C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, -C(O)-cycloalkyl, -C(O)-$(CH_2)_n$-NR^4R^5, -C(O)-O-alkyl, -C(O)-$(CH_2)_n$-O-alkyl, -C(O)-$(CH_2)_n$-haloalkyl, -C(O)-$(CH_2)_n$-heterocylcyl, or $–PO_3H_2$;

R^4 and R^5 each independently are selected from H and alkyl; and

n is 1 to 6.

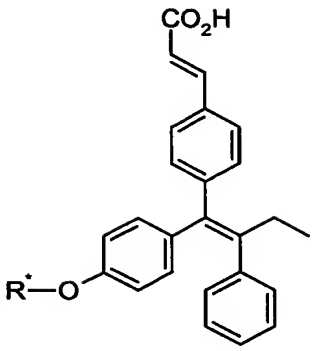
2. The compound of claim 1 wherein alkyl is C_1-C_6 alkyl; aryl is phenyl; heteroaryl is thienyl, isoxazoyl, or furyl; cycloalkyl is C_1-C_6 cycloalkyl, haloalkyl is C_1-C_6 haloalkyl, and heterocyclyl is morpholinyl or optionally susbstitued piperizinyl.

3. The compound of claim 1 wherein R^1 is –C(O)-C_{1-6}alkyl.

4. (2*E*)-3-(4-{(1*Z*)-2-phenyl-1-[4-(propionyloxy)phenyl]but-1-enyl}phenyl)prop-2-enoic acid, including salts, solvates, and pharmaceutically acceptable derivatives thereof.

5. (2*E*)-3-(4-{(1*Z*)-2-Phenyl-1-[4-(phosphonooxy)phenyl]-1-butenyl}phenyl)-2-propenoic acid, including salts, solvates, and pharmaceutically acceptable derivatives thereof.

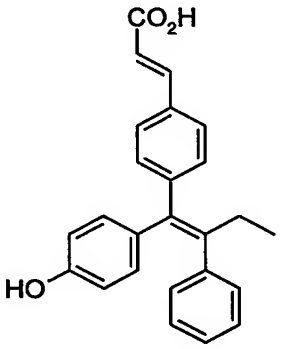
6. A compound of formula (I)



(I)

including salts, solvates, and pharmaceutically acceptable derivatives thereof, wherein

R^* is any prodrug moiety that provides an approximate 2.5 fold improvement in bioavailability in a rat over a parent compound **1**:



1

as measured *in vivo* when administered as a suspension in a pharmaceutically acceptable vehicle.

7. The compound of claim 6 wherein the bioavailability is provided through administration as a suspension in a vehicle composed of an aqueous solution containing 0.5% HPMC and 0.1% polysorbate 80.

8. The compound of claim 6 wherein R^* is –C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, -C(O)-cycloalkyl, -C(O)-$(CH_2)_n$-NR^4R^5, -C(O)-O-alkyl, -C(O)-$(CH_2)_n$-O-alkyl, -C(O)-$(CH_2)_n$-haloalkyl, -C(O)-$(CH_2)_n$-heterocylcyl, or –PO_3H_2; R^4 and R^5 each independently are selected from H and alkyl; and n is 1 to 6.

9. The compound of claim 6 wherein the improvement is at least 10 fold.

10. The compound of claim 6 wherein the improvement is about 15 fold.

11. The compound of claim 10 wherein R^* is $-C(O)-CH_2-CH_3$.

12. The compound of claim 1 wherein the compound is selected from

(2*E*)-3-(4-{(1*Z*)-2-phenyl-1-[4-(propionyloxy)phenyl]but-1-enyl}phenyl)prop-2-enoic acid;

(2*E*)-3-(4-{(1*Z*)-1-[4-(benzoyloxy)phenyl]-2-phenylbut-1-enyl}phenyl)prop-2-enoic acid;

(2*E*)-3-(4-{(1*Z*)-1-[4-(acetyloxy)phenyl]-2-phenylbut-1-enyl}phenyl)prop-2-enoic acid;

(2*E*)-3-(4-{(1*Z*)-1-[4-(butyryloxy)phenyl]-2-phenylbut-1-enyl}phenyl)prop-2-enoic acid;

(2*E*)-3-(4-{(1*Z*)-1-[4-(2-Furoyloxy)phenyl]-2-phenyl-1-butenyl}phenyl)-2-propenoic acid;

(2*E*)-3-[4-((1*Z*)-1-{4-[(*N*,*N*-dimethylglycyl)oxy]phenyl}-2-phenylbut-1-enyl)phenyl]prop-2-enoic acid;

(2*E*)-3-[4-((1*Z*)-1-{4-[(5-Isoxazolylcarbonyl)oxy]phenyl}-2-phenyl-1-butenyl)phenyl]-2-propenoic acid;

(2*E*)-3-[4-((1*Z*)-2-phenyl-1-{4-[(thien-2-ylcarbonyl)oxy]phenyl}but-1-enyl)phenyl]prop-2-enoic acid;

(2*E*)-3-[4-((1*Z*)-1-{4-[(methoxyacetyl)oxy]phenyl}-2-phenylbut-1-enyl)phenyl]prop-2-enoic acid;

(2*E*)-3-[4-((1*Z*)-2-phenyl-1-{4-[(4,4,4-trifluorobutanoyl)oxy]phenyl}but-1-enyl)phenyl]prop-2-enoic acid;

(2*E*)-3-[4-((1*Z*)-1-{4-[(2,2-dimethylpropanoyl)oxy]phenyl}-2-phenylbut-1-enyl)phenyl]prop-2-enoic acid;

(2*E*)-3-[4-((1*Z*)-1-{4-[(cyclohexylcarbonyl)oxy]phenyl}-2-phenylbut-1-enyl)phenyl]prop-2-enoic acid;

(2*E*)-3-[4-((1*Z*)-1-{4-[(morpholin-4-ylacetyl)oxy]phenyl}-2-phenylbut-1- enyl)phenyl]prop-2-enoic acid;

(2*E*)-3-[4-((1*Z*)-2-phenyl-1-{4-[(piperidin-1-ylacetyl)oxy]phenyl}but-1-enyl)phenyl]prop-2-enoic acid;

(2*E*)-3-{4-[(1*Z*)-1-(4-{[(4-methylpiperazin-1-yl)acetyl]oxy}phenyl)-2-phenylbut-1-enyl]phenyl}prop-2-enoic acid;

(2*E*)-3-(4-{(1*Z*)-2-Phenyl-1-[4-(phosphonooxy)phenyl]-1-butenyl}phenyl)-2-propenoic acid;

(2*E*)-3-[4-((1*Z*)-1-{4-[(Ethoxycarbonyl)oxy]phenyl}-2-phenyl-1-butenyl)phenyl]-2-propenoic acid; and

(2*E*)-3-[4-((1*Z*)-1-{4-[(Methoxycarbonyl)oxy]phenyl}-2-phenyl-1-butenyl)phenyl]-2-propenoic acid, including salts, solvates and pharmaceutically acceptable derivatives thereof.

13. The compound of claims 1 to 12 substantially as hereinbefore defined with reference to any one of the Examples.
14. A pharmaceutical composition comprising a compound according to claims 1 to 12, and a pharmaceutically acceptable carrier.
15. A compound according to claims 1 to 12 for use as an active therapeutic substance.
16. A compound according to claims 1 to 12 for use in the treatment or prophylaxis of conditions or disorders affected by selective estrogen receptor modulation.
17. The compound of claim 16 wherein the condition or disorder is one or more of osteoporosis, bone demineralization, reduced bone mass, density, or growth, osteoarthritis, acceleration of bone fracture repair and healing, acceleration of healing in joint replacement, periodontal disease, acceleration of tooth repair or growth, Paget's disease, osteochondrodysplasias, muscle wasting, the maintenance and enhancement of muscle strength and function, frailty or age-related functional decline ("ARFD"), sarcopenia, chronic fatigue syndrome, chronic myalgia, acute fatigue syndrome, acceleration of wound healing, maintenance of sensory function, chronic liver disease, AIDS, weightlessness, burn and trauma recovery, thrombocytopenia, short bowel syndrome, irritable bowel syndrome, inflammatory bowel disease, Crohn's disease and ulcerative colitis, obesity, eating disorders including anorexia associated with cachexia or aging, hypercortisolism and Cushing's syndrome, cardiovascular disease or cardiac dysfunction, congestive heart failure, high blood pressure, breast cancer, malignant tumor cells including breast, brain, skin, ovary, bladder, lymphatic, liver, kidney, uterine, pancreas, endometrium, lung, colon, and prostate, prostatic hyperplasia, hirsutism, acne, seborrhea, androgenic alopecia, anemia, hyperpilosity, adenomas and neoplasis of the prostate, hyperinsulinemia, insulin resistance, diabetes, syndrome X, dyslipidemia, urinary incontinence, artherosclerosis, libido enhancement, sexual dysfunction, depression, depressive symptoms, nervousness, irritability, stress, reduced mental energy and low self-esteem, improvement of cognitive function, endometriosis, polycystic ovary syndrome, counteracting preeclampsia, premenstral syndrome, contraception, uterine fibroid disease, and/or aortic smooth muscle cell proliferation, vaginal dryness, pruritis, dyspareunia, dysuria, frequent urination, urinary tract infections,

hypercholesterolemia, hyperlipidemia, peripheral vascular disease, restenosis, vasospasm, vascular wall damage due to immune responses, Alzheimer's disease, bone disease, aging, inflammation, rheumatoid arthritis, respiratory disease, emphysema, reperfusion injury, viral hepatitis, tuberculosis, psoriasis, amyotrophic lateral sclerosis, stroke, CNS trauma, dementia, neurodegeneration, breast pain and dysmenorrhea, menopausal or postmenopausal disorders, vasomotor symptoms, urogenital or vulvar vaginal atrophy, atrophic vaginitis, female sexual dysfunction, for enhancing libido, for the treatment of hypoactive sexual disorder, sexual arousal disorder, for increasing the frequency and intensity of orgasms, vaginismus, osteopenia, endometriosis, BPH (benign prostatic hypertrophy), autoimmune diseases, Hashimoto's thyroiditis, SLE (systemic lupus erythematosus), myasthenia gravis, or reperfusion damage of ischemic myocardium.

18. The compound of claim 17 wherein the condition or disorder is one or more of menopausal or postmenopausal disorders, vasomotor symptoms, urogenital or vulvar vaginal atrophy, atrophic vaginitis, female sexual dysfunction, breast cancer, depressive symptoms, diabetes, bone demineralization, or osteoporosis.

19. Use of a compound according to claims 1 to 12 in the manufacture of a medicament for use in the treatment or prophylaxis of conditions or disorders associated with selective estrogen receptor modulation.

20. Use of a compound according to any one of claims 1 to 12 in the manufacture of a medicament for use in the treatment or prophylaxis of osteoporosis, bone demineralization, reduced bone mass, density, or growth, osteoarthritis, acceleration of bone fracture repair and healing, acceleration of healing in joint replacement, periodontal disease, acceleration of tooth repair or growth, Paget's disease, osteochondrodysplasias, muscle wasting, the maintenance and enhancement of muscle strength and function, frailty or age-related functional decline ("ARFD"), sarcopenia, chronic fatigue syndrome, chronic myalgia, acute fatigue syndrome, acceleration of wound healing, maintenance of sensory function, chronic liver disease, AIDS, weightlessness, burn and trauma recovery, thrombocytopenia, short bowel syndrome, irritable bowel syndrome, inflammatory bowel disease, Crohn's disease and ulcerative colitis, obesity, eating disorders including anorexia associated with cachexia or aging, hypercortisolism and Cushing's syndrome, cardiovascular disease

or cardiac dysfunction, congestive heart failure, high blood pressure, breast cancer, malignant tumor cells including breast, brain, skin, ovary, bladder, lymphatic, liver, kidney, uterine, pancreas, endometrium, lung, colon, and prostate, prostatic hyperplasia, hirsutism, acne, seborrhea, androgenic alopecia, anemia, hyperpilosity, adenomas and neoplasis of the prostate, hyperinsulinemia, insulin resistance, diabetes, syndrome X, dyslipidemia, urinary incontinence, artherosclerosis, libido enhancement, sexual dysfunction, depression, depressive symptoms, nervousness, irritability, stress, reduced mental energy and low self-esteem, improvement of cognitive function, endometriosis, polycystic ovary syndrome, counteracting preeclampsia, premenstral syndrome, contraception, uterine fibroid disease, and/or aortic smooth muscle cell proliferation, vaginal dryness, pruritis, dyspareunia, dysuria, frequent urination, urinary tract infections, hypercholesterolemia, hyperlipidemia, peripheral vascular disease, restenosis, vasospasm, vascular wall damage due to immune responses, Alzheimer's disease, bone disease, aging, inflammation, rheumatoid arthritis, respiratory disease, emphysema, reperfusion injury, viral hepatitis, tuberculosis, psoriasis, amyotrophic lateral sclerosis, stroke, CNS trauma, dementia, neurodegeneration, breast pain and dysmenorrhea, menopausal or postmenopausal disorders, vasomotor symptoms, urogenital or vulvar vaginal atrophy, atrophic vaginitis, female sexual dysfunction, for enhancing libido, for the treatment of hypoactive sexual disorder, sexual arousal disorder, for increasing the frequency and intensity of orgasms, vaginismus, osteopenia, endometriosis, BPH (benign prostatic hypertrophy), autoimmune diseases, Hashimoto's thyroiditis, SLE (systemic lupus erythematosus), myasthenia gravis, or reperfusion damage of ischemic myocardium.

21. Use of a compound as in claim 20 wherein the condition or disorder is menopausal or postmenopausal disorders, vasomotor symptoms, urogenital or vulvar vaginal atrophy, atrophic vaginitis, female sexual dysfunction, breast cancer, depressive symptoms, diabetes, bone demineralization, or osteoporosis.

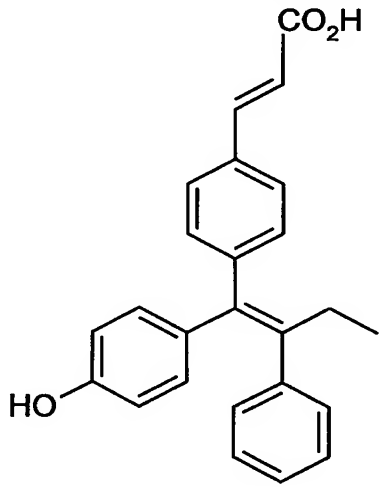
22. A method for the treatment or prophylaxis of conditions or disorders associated with selective estrogen receptor modulation comprising the administration of a compound according to any one of claims 1 to 12.

23. A method for the treatment or prophylaxis of one or more of osteoporosis, bone demineralization, reduced bone mass, density, or growth, osteoarthritis, acceleration of bone fracture repair and healing, acceleration of healing in joint replacement, periodontal disease, acceleration of tooth repair or growth, Paget's disease, osteochondrodysplasias, muscle wasting, the maintenance and enhancement of muscle strength and function, frailty or age-related functional decline ("ARFD"), sarcopenia, chronic fatigue syndrome, chronic myalgia, acute fatigue syndrome, acceleration of wound healing, maintenance of sensory function, chronic liver disease, AIDS, weightlessness, burn and trauma recovery, thrombocytopenia, short bowel syndrome, irritable bowel syndrome, inflammatory bowel disease, Crohn's disease and ulcerative colitis, obesity, eating disorders including anorexia associated with cachexia or aging, hypercortisolism and Cushing's syndrome, cardiovascular disease or cardiac dysfunction, congestive heart failure, high blood pressure, breast cancer, malignant tumor cells including breast, brain, skin, ovary, bladder, lymphatic, liver, kidney, uterine, pancreas, endometrium, lung, colon, and prostate, prostatic hyperplasia, hirsutism, acne, seborrhea, androgenic alopecia, anemia, hyperpilosity, adenomas and neoplasis of the prostate, hyperinsulinemia, insulin resistance, diabetes, syndrome X, dyslipidemia, urinary incontinence, artherosclerosis, libido enhancement, sexual dysfunction, depression, depressive symptoms, nervousness, irritability, stress, reduced mental energy and low self-esteem, improvement of cognitive function, endometriosis, polycystic ovary syndrome, counteracting preeclampsia, premenstral syndrome, contraception, uterine fibroid disease, and/or aortic smooth muscle cell proliferation, vaginal dryness, pruritis, dyspareunia, dysuria, frequent urination, urinary tract infections, hypercholesterolemia, hyperlipidemia, peripheral vascular disease, restenosis, vasospasm, vascular wall damage due to immune responses, Alzheimer's disease, bone disease, aging, inflammation, rheumatoid arthritis, respiratory disease, emphysema, reperfusion injury, viral hepatitis, tuberculosis, psoriasis, amyotrophic lateral sclerosis, stroke, CNS trauma, dementia, neurodegeneration, breast pain and dysmenorrhea, menopausal or postmenopausal disorders, vasomotor symptoms, urogenital or vulvar vaginal atrophy, atrophic vaginitis, female sexual dysfunction, for enhancing libido, for the treatment of hypoactive sexual disorder, sexual arousal

disorder, for increasing the frequency and intensity of orgasms, vaginismus, osteopenia, endometriosis, BPH (benign prostatic hypertrophy), autoimmune diseases, Hashimoto's thyroiditis, SLE (systemic lupus erythematosus), myasthenia gravis, or reperfusion damage of ischemic myocardium.comprising the administration of a compound according to any one of claims 1 to 12.

24. The method of claim 23 wherein the condition or disorder is menopausal or postmenopausal disorders, vasomotor symptoms, urogenital or vulvar vaginal atrophy, atrophic vaginitis, female sexual dysfunction, breast cancer, depressive symptoms, diabetes, bone demineralization, or osteoporosis.

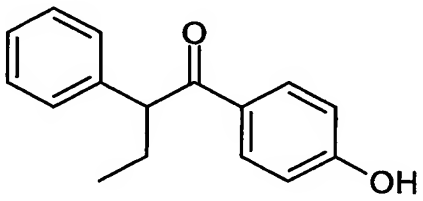
25. A process for making ester prodrugs of compound 1:



compound 1

comprising:

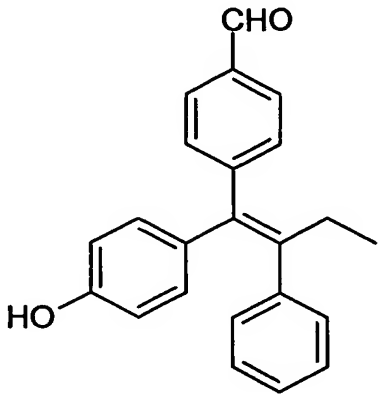
acylating anisole with 2-phenylbutanoic acid followed by demethylation to yield **phenol 8**:



phenol 8

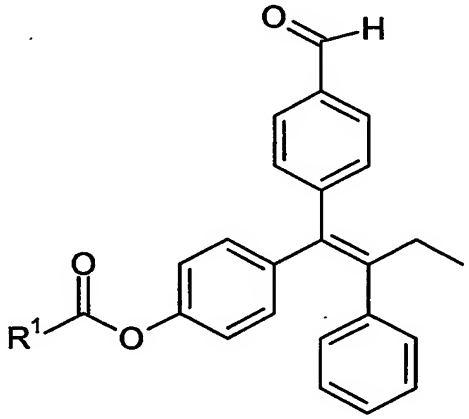
protecting the phenol group;

treating the protected compound with an organometallic reagent followed by dehydration to yield **phenol aldehyde 10**:



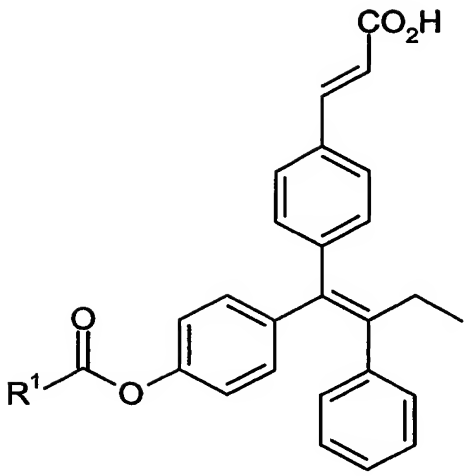
phenol aldehyde 10

acylating **phenol aldehyde 10** with an anhydride or an acid chloride in the presence of a base to yield **ester intermediate IV**:



ester intermediate IV

wherein R^1 is –C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, or -C(O)-cycloalkyl; and treating the ester intermediate IV with malonic acid to yield **ester prodrug V**:



ester prodrug V

wherein R^1 is as described.

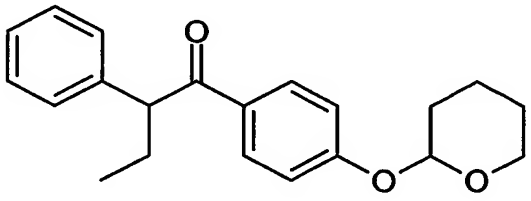
26. The process of claim 25 wherein R^1 is –C(O)-alkyl.

27. The process of claim 26 wherein R^1 is –C(O)-C_{1-6}alkyl.

28. The process of claim 27 wherein R^1 is –C(O)-CH_2CH_3.

29. The process of claim 25 wherein the step of acylating anisole with 2-phenylbutanoic acid further comprises acid catalyzed acylation of anisole with the mixed anhydride of trifluoroacetic acid and 2-phenylbutanoic acid, followed by treatment with aluminum chloride in an appropriate solvent.

30. The process of claim 25 wherein the step of protecting the phenol group of **phenol 8** further comprises protecting **phenol 8** as a **THP ether 9**:

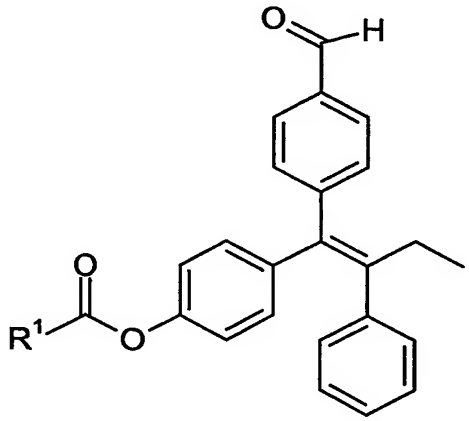


ether 9.

31. The process of claim 30 wherein the step of treating the protected compound with an organometallic reagent further comprises treating **ether 9** with [4-(dimethoxymethyl)phenyl] lithium or [4-(diethoxymethyl)phenyl] lithium followed by acid catalyzed dehydration.

32. The process of claim 25 wherein the step of acylating **phenol aldehyde 10** with an anhydride or an acid chloride in the presence of a base to yield **ester intermediate IV** is instead comprised of treating the **phenol aldehyde 10** with malonic acid to yield **ester intermediate IV**.

33. An intermediate of formula IV:



IV

wherein R^1 is –C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, or -C(O)-cycloalkyl.

34. The intermediate of claim 33 wherein R^1 is –C(O)-alkyl.

35. The intermediate of claim 34 wherein R^1 is –C(O)-C_{1-6}alkyl.

36. The intermediate of claim 35 wherein R^1 is –C(O)-CH_2CH_3.